

CLAIMS

We claim:

1. A compound which binds the G-quadruplex structure of a telomere comprising the following general formula:

nitrogen-containing aromatic ring - NR<sub>3</sub> -

distribution agent - NR'<sub>3</sub> - nonaromatic

hydrocarbon chain

in which

- 1) the nitrogen-containing aromatic ring represents:
  - a) a quinoline optionally substituted with at least
    - i) a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or
    - ii) a group ORa in which Ra is as defined above
  - b) a quinoline possessing a nitrogen atom in quaternary form or
  - c) a benzimidine or
  - d) a pyridine,
- 2) R<sub>3</sub> and R'<sub>3</sub>, which are identical or different, represent independently of each other, hydrogen or a C1-C4 alkyl radical;
- 3) the distribution agent represents:
  - a) a triazine group, a triazine group substituted with (i) an alkyl radical having 1 to 4 carbon atoms, (ii) a thio radical, (iii) an oxy radical; or (iv) an

amino radical, wherein the alkyl, thio, oxy or amino radicals are unsubstituted or substituted with

- i) one or more short-chain alkyl groups containing 1 to 4 carbon atoms or
- ii) a halogen atom or

- b) a carbonyl group or
- c) a group  $C(=NH)-NH-C(=NH)$  or
- d) an alkyldiyl group containing 3 to 7 carbon atoms or
- e) a diazine group, a diazine group substituted with
  - (i) an alkyl radical having 1 to 4 carbon atoms, (ii) a thio radical, (iii) an oxy radical, or (iv) an amino radical, wherein the alkyl, thio, oxy or amino radicals are unsubstituted or substituted with
    - i) one or more short-chain alkyl groups containing 1 to 4 carbon atoms or
    - ii) a halogen atom,

2. The compound according to claim 1, wherein the distribution agent is a triazine or diazine group.

3. The compound according to claim 2, wherein the diazine group is a pyrimidine or quinazoline.

4. The compound according to claim 1, wherein the nonaromatic hydrocarbon chain is chosen from among

- i) alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl are linear or branched,
- ii) cycloalkyl (C3-C18)
- iii) cycloalkenyl (C3-C18)
- iv) heterocycloalkyl (C3-C18) and
- v) heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group.

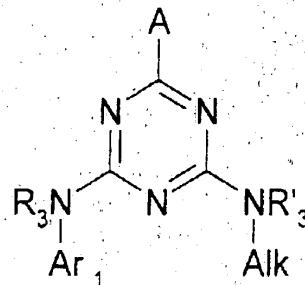
5. The compounds according to claim 4, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carboxyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

6. The compounds according to claim 5, wherein the alkyl chains comprise substituents having a hydrocarbon chain containing 1 to 4 carbon atoms, and the aryl groups

comprise substituents having a hydrocarbon chain containing 5 to 18 carbon atoms.

7. The compounds according to claim 4, wherein the alkyl chains contain 2 to 3 carbon atoms, and the heterocycloalkyl or cycloalkyl chains contain 5 to 7 carbon atoms.

8. The compounds according to claim 1, comprising formula (I) below:



in which:

1) A represents:

- a) an amino group of formula NR1R2 in which R1 and R2, which are identical or different, represent hydrogen or a straight or branched alkyl group containing 1 to 4 carbon atoms or
- b) a group OR1 or SR1 in which R1 has the same meaning as above or
- c) an alkyl group containing 1 to 4 carbon atoms or a trifluoromethyl group or

d) a hydrogen atom or

e) a halogen atom chosen from fluorine, chlorine, bromine and iodine,

2) R<sub>3</sub> and R'<sub>3</sub>, which are identical or different, represent independently of each other hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl group,

3) Ar<sub>1</sub> represents a nitrogen-containing aromatic ring representing:

- a) a quinoline, either unsubstituted or substituted with at least
  - i) a group N(R<sub>a</sub>)(R<sub>b</sub>) in which R<sub>a</sub> and R<sub>b</sub>, which are identical or different, represent hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl radical or
  - ii) a group OR<sub>a</sub> in which R<sub>a</sub> is as defined above
- b) a quinoline possessing a nitrogen atom in quaternary form or
- c) a benzimidine or
- d) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group
- e) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group substituted with a C<sub>1</sub>-C<sub>4</sub> alkyl group,

4) alk represents a nonaromatic unsubstituted or substituted hydrocarbon chain chosen from among

alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl chain are linear or branched, cycloalkyl (C3-C18), cycloalkenyl (C3-C18), heterocycloalkyl (C3-C18), and heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group,  
or a salt thereof.

9. The compound according to claim 8, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carboxyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl or arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

10. Compounds according to claim 8, wherein Ar<sub>1</sub> represents 4-amino- or 4-methylamino- or 4-dimethylamino- quinolyl or quinolinium, wherein the quinolinium nucleus is unsubstituted or substituted with a methyl group.

11. Compounds according to claim 8, wherein group A represents a thiomethyl, amino, alkylamino or dialkylamino, in which the alkyl groups in the radicals possess 1 to 4 carbon atoms.

12. Compounds according to claim 8, wherein A represents a methylthio group.

13. Compounds according to claim 8, wherein alk represents an alkyl containing 2 to 3 linear or branched carbon atoms, wherein the alkyl is substituted with

- i) an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof
- ii) an alkenyl unit containing 2 to 3 carbon atoms, which is substituted with an amino, alkylamino, arylamino, dialkylamino, diarylamino, heterocyclyl containing from 4 to 7 carbon atoms, or a combination thereof.

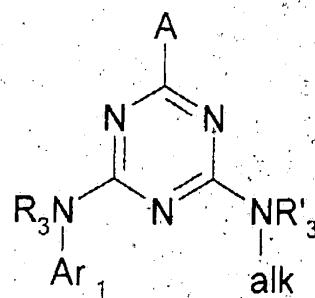
14. Compounds according to claim 8, wherein alk represents a 2-(dialkylamino)ethyl, 3-(dialkylamino)propyl, 2-(N-alkyl-N-arylarnino)ethyl, or 3-(N-alkyl-N-arylarnino)-propyl, in which the alkyl groups contain 1 to 4 carbon atoms and the aryl groups contain 5 to 18 carbon atoms.

15. Compounds according to claim 8, wherein alk represents 2-(N-m.tolyl-N-ethylamino)ethyl.

16. Compounds of claim 1, for use as a telomerase-inhibiting agent.

17. Compounds of claim 1, for use against cancer.

18. Novel compounds corresponding to the following formula (I):



in which:

- 1) A represents
  - a) an amino group of formula  $NR_1R_2$  in which  $R_1$  and  $R_2$ , which are identical or different, represent hydrogen or a straight or branched alkyl group containing 1 to 4 carbon atoms or
  - b) a group  $OR_1$  or  $SR_1$  in which  $R_1$  has the same meaning as above or
  - c) an alkyl group containing 1 to 4 carbon atoms or a trifluoromethyl group or

d) a hydrogen atom or

e) a halogen atom chosen from fluorine, chlorine, bromine and iodine,

2) R<sub>3</sub> and R'<sub>3</sub>, which are identical or different, represent independently of each other hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl group,

3) Ar<sub>1</sub> represents a nitrogen-containing aromatic ring representing:

- a) a quinoline, either unsubstituted or substituted with at least:
  - i) a group N(R<sub>a</sub>)(R<sub>b</sub>) in which R<sub>a</sub> and R<sub>b</sub>, which are identical or different, represent hydrogen or a C<sub>1</sub>-C<sub>4</sub> alkyl radical or
  - ii) a group OR<sub>a</sub> in which R<sub>a</sub> is as defined above
- b) a quinoline possessing a nitrogen atom in quaternary form or
- c) a benzimidine or
- d) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group
- e) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group substituted with a C<sub>1</sub>-C<sub>4</sub> alkyl group,

4) alk represents a nonaromatic unsubstituted or substituted hydrocarbon chain chosen from among

alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl chain are linear or branched, cycloalkyl (C3-C18), cycloalkenyl (C3-C18), heterocycloalkyl (C3-C18), and heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group,  
or a salt thereof.

19. Compounds according to claim 18, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carbonyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl, arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

20. Compounds according to claim 18, wherein Ar<sub>1</sub> represents 4-amino- or 4-methylamino- or 4-dimethylamino-quinolyl or quinolinium, wherein the quinolinium nucleus is unsubstituted or substituted with a methyl group.

21. Compounds according to claim 18, wherein group A represents a thiomethyl, amino, alkylamino or dialkylamino, in which the alkyl groups in the radicals possess 1 to 4 carbon atoms.

22. Compounds according to claim 18, wherein R1 and R2 represent hydrogen.

23. Compounds according to claim 21, wherein A represents a methylthio group.

24. Compounds according to claim 18, wherein alk represents

- i) an alkyl containing 2 to 3 linear or branched carbon atoms which is substituted with an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof,
- ii) an alkenyl unit containing 2 to 3 carbon atoms, which is substituted with an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof, or
- iii) a heterocyclyl containing from 4 to 7 carbon atoms.

25. Compounds according to claim 18, wherein alk represents 2-(dialkylamino)ethyl, 3-(dialkylamino)propyl, 2-(N-alkyl-N-arylamino)ethyl or 3-(N-alkyl-N-arylamino)propyl, in which the alkyl groups contain 1 to 4 carbon atoms and the aryl groups contain 5 to 18 carbon atoms.

26. Compounds according to claim 24, characterized in that alk represents a 2-(N-m-tolyl-N-ethylamino)ethyl.

27. A pharmaceutical product for human use comprising the compounds of claim 1.

28. A therapeutic composition comprising a compound according to claim 1 and one or more anticancer compounds.

29. The composition according to claim 28, wherein the one or more anticancer compounds are chosen from among alkylating agents, platinum derivatives, antibiotic agents, antimicrotubule agents, anthracyclines, group I and II topoisomerases, fluoropyrimidines, cytidine analogs, adenosine analogs, L-asparaginase, hydroxyurea, trans-retinoic acid, suramine, irinotecan, topotecan, dextrazoxane, amifostine, herceptin, estrogenic hormones, and androgenic hormones.

30. A therapeutic combination comprising the compound according to claim 1 and radiation.

31. A method of using the composition of claim 29, wherein the individual compounds are administered to a patient simultaneously, separately or sequentially.

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